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                 for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS
         FEB 02
                 GENBANK enhanced with SET PLURALS and SET SPELLING
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         FEB 06
                 Patent sequence location (PSL) data added to USGENE
NEWS
         FEB 10
                 COMPENDEX reloaded and enhanced
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        FEB 11
                 WTEXTILES reloaded and enhanced
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                 patent records provide insights into related prior
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                 Several formats for image display and print options
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                 MEDLINE now offers more precise author group fields
                 and 2009 MeSH terms
        FEB 23
                 TOXCENTER updates mirror those of MEDLINE - more
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                 precise author group fields and 2009 MeSH terms
NEWS 13
        FEB 23
                 Three million new patent records blast AEROSPACE into
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                 USGENE enhanced with patent family and legal status
                 display data from INPADOCDB
NEWS 15
        MAR 06
                 INPADOCDB and INPAFAMDB enhanced with new display
NEWS 16
        MAR 11
                 EPFULL backfile enhanced with additional full-text
                 applications and grants
NEWS 17
        MAR 11
                 ESBIOBASE reloaded and enhanced
                 CAS databases on STN enhanced with new super role
        MAR 20
NEWS 18
                 for nanomaterial substances
NEWS 19
        MAR 23
                 CA/CAplus enhanced with more than 250,000 patent
                 equivalents from China
NEWS 20
        MAR 30
                 IMSPATENTS reloaded and enhanced
NEWS 21
        APR 03
                 CAS coverage of exemplified prophetic substances
                 enhanced
NEWS 22
         APR 07
                 STN is raising the limits on saved answers
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         APR 24
                 CA/CAplus now has more comprehensive patent assignee
                 information
NEWS 24
        APR 26
                 USPATFULL and USPAT2 enhanced with patent
                 assignment/reassignment information
NEWS 25
        APR 28
                 CAS patent authority coverage expanded
NEWS 26
         APR 28
                 ENCOMPLIT/ENCOMPLIT2 search fields enhanced
NEWS 27
         APR 28
                 Limits doubled for structure searching in CAS
                 REGISTRY
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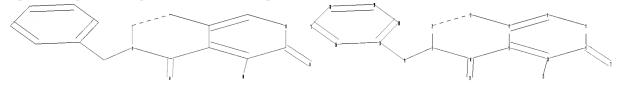
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chain nodes : 11 12 14 21 ring nodes : 1 2 3 4 5 6 7 8 9 10 15 16 17 18 19 20 chain bonds : 1-14 6-11 9-12 10-21 14-15 ring bonds : $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 4-7 \quad 5-6 \quad 5-10 \quad 7-8 \quad 8-9 \quad 9-10 \quad 15-16 \quad 15-20 \quad 16-17 \quad 17-18$ 18-19 19-20 exact/norm bonds : $1-2 \quad 1-6 \quad 1-14 \quad 2-3 \quad 3-4 \quad 4-5 \quad 4-7 \quad 5-6 \quad 5-10 \quad 6-11 \quad 7-8 \quad 8-9 \quad 9-10 \quad 9-12 \quad 10-21$ exact bonds : 14 - 15normalized bonds : 15-16 15-20 16-17 17-18 18-19 19-20 isolated ring systems : containing 1 : 15 :

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:CLASS 12:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:CLASS

L1 STRUCTURE UPLOADED

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=> s l1 full FULL SEARCH INITIATED 15:09:18 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 932 TO ITERATE

100.0% PROCESSED 932 ITERATIONS 177 ANSWERS

SEARCH TIME: 00.00.01

L2 177 SEA SSS FUL L1

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ENTRY SESSION
FULL ESTIMATED COST 185.88 186.10

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Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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=> s 12 full L3 7 L2

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L3 ANSWER 1 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1367982 CAPLUS

DOCUMENT NUMBER: 149:556676

TITLE: Synthesis of diazocino[2,1-a]naphthyridinetrione

derivative in crystalline form

INVENTOR(S): Lohani, Sachin; Peng, Zhihui; Mckeown, Arlene E.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 36pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | | | |
|------------------------|------|----------|-----------------|------|----------|--|--|
| | | | | | | | |
| US 20080280945 | A1 | 20081113 | US 2008-151744 | | 20080508 | | |
| PRIORITY APPLN. INFO.: | | | US 2007-928292P | P | 20070509 | | |
| GI | | | | | | | |

Ι

AB Crystalline forms of a hexahydro-diazocinonaphthyridine trione I are disclosed. The compound and its crystalline forms thereof are HIV integrase inhibitors useful for the prophylaxis or treatment of HIV infection or for the prophylaxis, treatment or delay in the onset or progression of AIDS (data not shown).

IT 865300-81-8P 865300-86-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of diazocinonaphthyridinetrione in crystalline forms for pharmaceutical use)

RN 865300-81-8 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo- (CA INDEX NAME)

RN 865300-86-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-, ethyl ester (CA INDEX NAME)

ANSWER 2 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN 1.3

ACCESSION NUMBER: 2008:1298436 CAPLUS

DOCUMENT NUMBER: 150:47221

TITLE: Serendipitous discovery of a pH-dependent atropisomer

bond rotation: Toward a write-protectable chiral

molecular switch?

AUTHOR(S): Welch, Christopher J.; Biba, Mirlinda; Pye, Philip;

Angelaud, Remy; Egbertson, Melissa

CORPORATE SOURCE: Department of Process Research, Merck Research

Laboratories, Inc., Rahway, NJ, 07065, USA

SOURCE: Journal of Chromatography, B: Analytical Technologies

in the Biomedical and Life Sciences (2008), 875(1),

118-121

CODEN: JCBAAI; ISSN: 1570-0232

PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal LANGUAGE: English

Owing to slow rotation of a sterically constrained dimethylamide substituent, two slowly interconverting enantiomers of a preclin.

candidate for pharmaceutical development, 1,

(6-(3-Chloro-4-fluoro-benzyl)-4-hydroxy-2-methyl-3,5-dioxo-2,3,5,6,7,8hexahydro-[2,6]naphthyridine-1-carboxylic acid dimethylamide) are observed by chiral chromatog. Isolation of pure enantiomer by preparative chiral chromatog. followed by enantiopurity anal. over time allowed for a study of the kinetics of enantiomer interconversion under a variety of conditions. Relatively slow racemization was observed in alc. solvents, with a half life on the order of 5-10 h. A dramatic influence of aqueous buffer pH on racemization was noted, with higher pH leading to rapid racemization within a few minutes, and lower pH leading to essentially no racemization for periods up to a week. A hypothesis explaining this unusual effect of pH on carboxamide bond rotation is offered, and some suggestions for potential utility of such a system are considered.

ΙT 865298-81-3

> RL: ANT (Analyte); PEP (Physical, engineering or chemical process); PRP (Properties); ANST (Analytical study); PROC (Process)

(pH-dependent atropisomer bond rotation and potential use as chiral mol. switch)

RN 865298-81-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo- (CA INDEX NAME)

$$\begin{array}{c|c} O \\ C-NMe_2 \\ \hline N \\ OH \\ O \end{array}$$

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT L3 ANSWER 3 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1205598 CAPLUS

DOCUMENT NUMBER: 145:505478

TITLE: Preparation of tricyclic naphthyridine derivatives, as

HIV integrase inhibitors

INVENTOR(S): Vacca, Joseph P.; Wai, John S.; Payne, Linda S.;

Isaacs, Richard C. A.; Han, Wei; Egbertson, Melissa;

Pracitto, Richard

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 122pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GΙ

| PA. | PATENT NO. | | | | | | KIND DATE | | | | _ | | DATE | | | | | |
|----------|---|--------------------------|---------------------------------|---------------------------------|---------------------------------|--------------------------------|--------------------------|--------------------------|--------------------------|------------------------------------|--------------------------|--------------------------|--------------------------|--------------------------|--------------------------|--------------------------|------------|--|
| | | | | A2 | | 20061116 20071025 | | WO 2006-US17369 20060 | | | | | | | | | | |
| | W: AE, AG, AL, CN, CO, CR, GE, GH, GM, KZ, LC, LK, MZ, NA, NG, SG, SK, SL, | | CR, GM, LK, NG, SL, | CU, HR, LR, NI, SM, | CZ, HU, LS, NO, SY, | DE, ID, LT, NZ, | DK, IL, LU, OM, | DM, IN, LV, PG, | DZ, IS, LY, PH, | EC, JP, MA, PL, | EE, KE, MD, PT, | EG, KG, MG, RO, | ES, KM, MK, RU, | FI, KN, MN, SC, | GB, KP, MW, SD, | GD, KR, MX, SE, | | |
| | RW: | AT, IS, CF, GM, | BE, IT, CG, KE, | BG, LT, CI, LS, | LU, CM, MW, | CY, LV, GA, MZ, | MC, GN, NA, | NL, GQ, SD, | PL, GW, SL, | PT, ML, SZ, | ES, RO, MR, TZ, | SE, NE, | SI, SN, | SK, TD, | TR, TG, | BF, BW, | BJ, GH, | |
| AU | AU 2006244424 | | | | | RU, TJ, TM, AP, A1 20061116 | | | | | | | 20060505 | | | | | |
| CA | CA 2607151 EP 1881825 | | | | | | | | | | 2006- | | | | | | | |
| | | IS, BA, | IT, HR, | LI, MK, | LT, YU | LU, | LV, | MC, | NL, | PL, | ES, PT, | RO, | SE, | SI, | SK, | | | |
| | | | | | | | | | JP 2008-511195 | | | | | | | | | |
| | | | | | | | 2009 | 0226 | | US 2007-920032 | | | | | | | | |
| PRIORIT | RIORITY APPLN. INFO.: | | | . : | | | | | | US 2005-679431P WO 2006-US17369 | | | | | | | | |
| OTHER SO | THER SOURCE(S): | | | | | MARPAT 145:5054 | | | | | | | | | | | | |

^{*} STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Tricyclic compds. I [R1 = (un)substituted alkyl; R2 = H, alkyl; R3 = H, halo, CN, halo/alkyl, SO2NH2 and derivs., NH2 and derivs., etc.; when R2 and R3 are attached to a double bond, then R2C:CR3 = (un)substituted Ph, 5- to 6-membered heteroaryl; A = (un)substituted 5- to 9-membered saturated or mono-unsatd. heterocyclyl containing 2-4 heteroatoms selected from N, O, S, in which each S is optionally oxidized to SO or SO2; ; and their pharmaceutically acceptable salts] were prepared as HIV integrase and HIV replication inhibitors. For example, diazocinonaphthyridine II was prepared by coupling acid III (preparation given) with N-[2-(benzyloxy)ethyl]-4-[(tert-butyldimethylsilyl)oxy]butan-1-amine (preparation given), removal of tert-butyldimethylsilyl group, treatment of the alc. with methanesulfonic anhydride, cyclization in the presence of CsCO3,

and deprotection in the presence of HBr in AcOH (no data for the first two steps). I displayed IC50's <1 μM in an HIV integrase assay. Pyrazinopyrimidines I exhibited IC95's of <10 μM for the inhibition of acute HIV infection of T-lymphoid cells. I exhibited no cytotoxicity at concns. $\leq \! 10~\mu M$. I are useful in the prevention and treatment of infection by HIV and in the prevention, delay in the onset, and treatment of AIDS. I can be employed in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines.

IT 865300-53-4P, Methyl 6-(3-chloro-4-fluorobenzyl)-3,4-dihydroxy-5-oxo-5,6,7,8-tetrahydro-2,6-naphthyridine-1-carboxylate 915065-29-1P, Methyl 2-(4-chlorobutyl)-6-(3-chloro-4-fluorobenzyl)-4-hydroxy-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxylate 915065-30-4P, Methyl 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-2-[4-(methylamino)butyl]-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxylate 915065-31-5P,

6-(3-Chloro-4-fluorobenzyl)-4-hydroxy-2-[4-(methylamino)butyl]-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxylic acid hydrochloride 915065-36-0P, Methyl 2-(2-tert-butoxy-2-oxoethyl)-6-(3-chloro-4-fluorobenzyl)-4-hydroxy-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxylate 915065-66-6P, Methyl

2-(ally1)-6-(3-chloro-4-fluorobenzy1)-4-hydroxy-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6-naphthyridine-1-carboxylate

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of tricyclic naphthyridines as HIV integrase inhibitors)

RN 865300-53-4 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid,

6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-, methyl ester (CA INDEX NAME)

RN 915065-29-1 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid, 2-(4-chlorobutyl)-6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8hexahydro-4-hydroxy-3,5-dioxo-, methyl ester (CA INDEX NAME)

RN 915065-30-4 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-[4-(methylamino)butyl]-3,5-dioxo-, methyl ester (CA INDEX NAME)

RN 915065-31-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-[4-(methylamino)butyl]-3,5-dioxo-, hydrochloride (1:1) (CA INDEX NAME)

● HC1

RN 915065-36-0 CAPLUS

CN

2,6-Naphthyridine-2(3H)-acetic acid, 6-[(3-chloro-4-fluorophenyl)methyl]-5,6,7,8-tetrahydro-4-hydroxy-1-(methoxycarbonyl)-3,5-dioxo-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 915065-66-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-2-(2-propen-1-yl)-, methyl ester (CA INDEX NAME)

$$\begin{array}{c} \text{O} \\ \text{C-OMe} \\ \text{C} \\ \text{OH} \\ \text{O} \\ \text{OH} \\ \text{O} \\ \end{array}$$

ANSWER 4 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN L3 2006:1066462 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 145:419116 Preparation of crystalline TITLE: 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-2-isopropyl-N,Ndimethyl-3,5-dioxo-2,3,5,6,7,8-hexahydro-2,6naphthyridine-1-carboxamide sodium salt as an HIV integrase inhibitor. INVENTOR(S): Matty, Louis, Jr.; Wang, Yaling PATENT ASSIGNEE(S): Merck & Co., Inc., USA PCT Int. Appl., 23pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE ____ _____ _____ _____ WO 2006107478 A2 20061012 WO 2006-US7716 20060303 WO 2006107478 A3 20070712 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA US 2005-659952P PRIORITY APPLN. INFO.: P 20050309 OTHER SOURCE(S): CASREACT 145:419116 Title compound (I) having a specified X-ray powder diffraction pattern was prepared as an HIV integrase inhibitor useful for the prophylaxis or treatment of HIV infection or for the prophylaxis, treatment or delay in the onset of AIDS (no data). I was prepared from Et 6-(3-chloro-4-fluorobenzyl)-4-hydroxy-5-oxo-5,6,7,8-tetrahydro-2,6naphthyridine-1-carboxylate in 7 steps. ΙT 865300-19-2P RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of crystalline (chlorofluorobenzyl) hydroxyisopropyldioxohexahydronap hthyridinedimethylamide sodium salt as an HIV integrase inhibitor) RN 865300-19-2 CAPLUS 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-CN 2,3,5,6,7,8-hexahydro-4-hydroxy-N, N-dimethyl-2-(1-methylethyl)-3,5-dioxo-,

sodium salt (1:1) (CA INDEX NAME)

Na

IT 865298-83-5P 865300-62-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of crystalline

(chlorofluorobenzyl) hydroxyisopropyldioxohexahydronap

hthyridinedimethylamide sodium salt as an HIV integrase inhibitor)

RN 865298-83-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-(1-methylethyl)-3,5-dioxo-(CA INDEX NAME)

RN 865300-62-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-(CA INDEX NAME)

L3 ANSWER 5 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1026950 CAPLUS

DOCUMENT NUMBER: 143:326344

Preparation of hydroxynaphthyridinediones as HIV TITLE:

integrase inhibitors

INVENTOR(S): Han, Wei; Egbertson, Melissa; Wai, John S.; Zhuang,

Linghang; Ruzek, Rowena D.; Perlow, Debra S.; Isaacs,

Richard C. A.; Cameron, Mark; Foster, Bruce S.;

Dolling, Ulf H.; Hoerrner, R. Scott; Obligado, Vanessa E.; Neilson, Lou Anne; Kim, Boyoung; Payne, Linda S.;

Morrisette, Matthew M.; Williams, Peter D.; Pye,

Philip J.; Angelaud, Remy; Mancheno, Danny E.; Askin,

David

PATENT ASSIGNEE(S): Merck & Co., Inc., USA PCT Int. Appl., 193 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | | | | | KIND DATE | | | | APPL | ICAT | ION : | | DATE | | | | | |
|---------------------|-------------|---------|-----|-----|--|------|----------|----------------|-----------------|------|-------|-----|------|-----|------|------|-----|----|
| WO | A1 20050922 | | | , | WO 2 | 005- | 20050309 | | | | | | | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | ΑT, | ΑU, | AZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | | GE, | GH, | GM, | HR, | ΗU, | ID, | IL, | IN, | IS, | JP, | ΚE, | KG, | KP, | KR, | KΖ, | LC, | |
| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, | NI, | |
| | | NO, | NΖ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | |
| | | SY, | ΤJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UΖ, | VC, | VN, | YU, | ZA, | ZM, | ZW |
| | RW: | BW, | GH, | GM, | ΚE, | LS, | MW, | MΖ, | NΑ, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | |
| | | ΑZ, | BY, | KG, | KΖ, | MD, | RU, | ΤJ, | TM, | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | |
| | | EE, | ES, | FΙ, | FR, | GB, | GR, | ΗU, | ΙE, | IS, | ΙΤ, | LT, | LU, | MC, | NL, | PL, | PT, | |
| | | RO, | SE, | SI, | SK, | TR, | BF, | ΒJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | |
| | | MR, | ΝE, | SN, | TD, | ΤG | | | | | | | | | | | | |
| AU 2005222391 | | | | | | | | AU 2005-222391 | | | | | | | | | | |
| | | | | | | | | | CA 2005-2558026 | | | | | | | | | |
| EP | 1725 | .725556 | | | | | 2006 | 1129 | EP 2005-725120 | | | | | | | | | |
| | R: | AT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | EE, | ES, | FΙ, | FR, | GB, | GR, | HU, | ΙE, | |
| | | IS, | ΙT, | LI, | LT, | LU, | MC, | ΝL, | PL, | PT, | RO, | SE, | SI, | SK, | TR, | AL, | BA, | |
| | | HR, | LV, | MK, | ΥU | | | | | | | | | | | | | |
| CN 1930160 | | | | | Α | | 2007 | | | | | | | | | | | |
| JP 2007528401 | | | | | | | 2007 | | | | | | | | | | | |
| US 20070179196 | | | | | | | | | | | | | | | | | | |
| IN 2006DN04787 | | | | Α | | 2007 | 0831 | | | 006- | | - | | | 0060 | | | |
| ORITY APPLN. INFO.: | | | | | | | | | 004- | | | | P 2 | | | | | |
| | | | | | | | | | | | 004- | | | | | | | |
| | | | | | | | | | | | 005- | | . — | | _ | 0050 | 309 | |
| HER SOURCE(S). | | | | | CASREACT 143.326344. MARPAT 143.326344 | | | | | | | | | | | | | |

OTHER SOURCE(S): CASREACT 143:326344; MARPAT 143:326344

GΙ

$$X^{1}$$
 X^{2}
 X^{3}
 X^{2}
 X^{3}
 X^{1}
 X^{2}
 X^{3}
 X^{4}
 X^{5}
 X^{7}
 X^{1}
 X^{1}
 X^{2}
 X^{3}
 X^{1}
 X^{2}
 X^{3}
 X^{4}
 X^{5}
 X^{7}
 X^{7

The title compds. I [X1, X2 = H, alkyl, OH, etc.; or X1 and X2 can AΒ together form methylenedioxy or ethylenedioxy (if located on adjacent carbons in the Ph ring); X3 = H, alkyl, alkoxy, haloalkyl, haloalkoxy, halo; R4 = alkyl, (un)substituted cycloalkyl, heteroaryl, etc.; R5 = H, alkyl, cycloalkyl, etc.] which are inhibitors of HIV integrase and inhibitors of HIV replication, and therefore are useful in the prevention and treatment of infection by HIV and in the prevention, delay in the onset, and treatment of AIDS, were prepared E.g., a multi-step synthesis of II, starting from piperidin-2-one and 4-fluorobenzyl bromide, was given. The representative compds. I (including II) were tested in the integrase assay and were found to have IC50's less than about 1 μM . The compds. I can be employed against HIV infection and AIDS as compds. per se or in the form of pharmaceutically acceptable salts. The compds. I and their salts can be employed as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines.

IT 865300-19-2P

RN

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydroxynaphthyridinediones as HIV integrase inhibitors) 865300-19-2 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-(1-methylethyl)-3,5-dioxo-, sodium salt (1:1) (CA INDEX NAME)

● Na

RN 865298-70-0 CAPLUS
CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8hexahydro-4-hydroxy-2-methyl-N-(1-methylethyl)-3,5-dioxo- (CA INDEX NAME)

RN 865298-71-1 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-N-(2,2,2-trifluoroethyl)- (CA INDEX NAME)

RN 865300-11-4 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 5-bromo-2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl- (CA INDEX NAME)

RN 865300-12-5 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy- (CA INDEX NAME)

TT 865298-59-5P 865298-60-8P 865298-61-9P 865298-62-0P 865298-63-1P 865298-64-2P 865298-65-3P 865298-66-4P 865298-67-5P 865298-68-6P 865298-69-7P 865298-72-2P 865298-73-3P 865298-74-4P 865298-75-5P 865298-76-6P 865298-77-7P 865298-78-8P 865298-79-9P 865298-80-2P 865298-81-3P 865298-82-4P 865298-83-5P 865298-84-6P 865298-85-7P 865298-86-8P 865298-87-9P 865298-88-0P 865298-89-1P 865298-90-4P 865298-91-5P 865298-92-6P 865298-93-7P 865298-94-8P 865298-95-9P 865298-96-0P 865298-97-1P 865298-98-2P 865298-99-3P 865299-00-9P 865299-01-0P 865299-02-1P 865299-03-2P 865299-04-3P 865299-05-4P 865299-06-5P 865299-07-6P 865299-08-7P 865299-09-8P 865299-10-1P 865299-11-2P 865299-12-3P 865299-15-6P 865299-16-7P

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865299-17-8P 865299-18-9P 865299-19-0P
865299-20-3P 865299-22-5P 865299-24-7P
865299-25-8P 865299-26-9P 865299-27-0P
865299-28-1P 865299-29-2P 865299-30-5P
865299-31-6P 865299-32-7P 865299-33-8P
865299-34-9P 865299-35-0P 865299-36-1P
865299-37-2P 865299-38-3P 865299-39-4P
865299-40-7P 865299-41-8P 865299-42-9P
865299-43-0P 865299-44-1P 865299-45-2P
865299-47-4P 865299-48-5P 865299-49-6P
865299-50-9P 865299-51-0P 865299-52-1P
865299-53-2P 865299-54-3P 865299-56-5P
865299-57-6P 865299-58-7P 865299-59-8P
865299-60-1P 865299-61-2P 865299-62-3P
865299-63-4P 865299-64-5P 865299-65-6P
865299-66-7P 865299-67-8P 865299-68-9P
865299-69-0P 865299-70-3P 865299-71-4P
865299-72-5P 865299-73-6P 865299-74-7P
865299-75-8P 865299-76-9P 865299-77-0P
865299-78-1P 865299-79-2P 865299-80-5P
865299-81-6P 865299-82-7P 865299-83-8P
865299-84-9P 865299-85-0P 865299-86-1P
865299-87-2P 865299-88-3P 865299-89-4P
865299-90-7P 865299-91-8P 865299-92-9P
865299-93-0P 865299-94-1P 865299-95-2P
865299-96-3P 865299-97-4P 865299-98-5P
865299-99-6P 865300-00-1P 865300-01-2P
865300-02-3P 865300-03-4P 865300-04-5P
865300-05-6P 865300-06-7P 865300-07-8P
865300-08-9P 865300-09-0P 865300-10-3P
865300-13-6P 865300-14-7P 865300-15-8P
865300-16-9P 865300-17-0P 865300-18-1P
865300-98-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
   (preparation of hydroxynaphthyridinediones as HIV integrase inhibitors)
865298-59-5 CAPLUS
2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-
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RN

CN

RN 865298-60-8 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N-cyclobutyl-6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-(CA INDEX NAME)

hexahydro-4-hydroxy-N, N-dimethyl-3, 5-dioxo- (CA INDEX NAME)

RN 865298-61-9 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N-cyclopropyl-6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-(CA INDEX NAME)

RN 865298-62-0 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N-(1-methylethyl)-3,5-dioxo- (CA INDEX NAME)

RN 865298-63-1 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N-methyl-3,5-dioxo- (CA INDEX NAME)

RN 865298-64-2 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo- (CA INDEX NAME)

RN 865298-65-3 CAPLUS

CN Methanesulfonamide, N-[6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-2,6-naphthyridin-1-yl]-N-methyl- (CA INDEX NAME)

RN 865298-66-4 CAPLUS

CN Acetamide, N-[6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-2,6-naphthyridin-1-yl]-N-methyl- (CA INDEX NAME)

RN 865298-67-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865298-68-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N-cyclobuty1-6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo- (CA INDEX NAME)

RN 865298-69-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N-cyclopropyl-6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 865298-72-2 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-N-[2-(methylsulfonyl)ethyl]-3,5-dioxo- (CA INDEX NAME)

RN 865298-73-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N,6-bis[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-(CA INDEX NAME)

RN 865298-74-4 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-5-(1-piperidinylcarbonyl)- (CA INDEX NAME)

RN 865298-75-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N-(2,2-dimethylpropyl)-6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-(CA INDEX NAME)

$$\begin{array}{c|c} O \\ C-NH-CH_2-CMe_3 \\ \hline Me \\ O \\ OH \\ O \end{array}$$

RN 865298-76-6 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-5-(4-thiomorpholinylcarbonyl)- (CA INDEX NAME)

RN 865298-77-7 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-5-(1-piperazinylcarbonyl)- (CA INDEX NAME)

$$\begin{array}{c} H \\ N \\ C = O \\ C = O \\ O \\ O \\ O \end{array}$$

RN 865298-78-8 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-5-(1-piperazinylcarbonyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 865298-77-7 CMF C20 H21 F N4 O4

$$\begin{array}{c} & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ &$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 865298-79-9 CAPLUS

CN 1-Piperazinesulfonamide, 4-[[6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-2,6-naphthyridin-1-yl]carbonyl]-N,N-dimethyl-(CA INDEX NAME)

$$\begin{array}{c|c} O & \\ O & S-NMe_2 \\ \hline N & \\ C & O \\ \hline \\ CH_2 & N & O \\ \hline \\ O & OH \\ \end{array}$$

RN 865298-80-2 CAPLUS

CN 1-Piperazineacetamide, 4-[[6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-2,6-naphthyridin-1-yl]carbonyl]-N,N-dimethyl-α-oxo- (CA INDEX NAME)

$$\begin{array}{c|c} O & O \\ | & | \\ C - C - NMe_2 \\ \hline N \\ C = O \\ \hline CH_2 - N \\ O & OH \\ \end{array}$$

RN 865298-81-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865298-82-4 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-, sodium salt (1:1) (CA INDEX NAME)

Na

RN 865298-83-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-(1-methylethyl)-3,5-dioxo-(CA INDEX NAME)

RN 865298-84-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-(2-methylpropyl)-3,5-dioxo-(CA INDEX NAME)

RN 865298-85-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N-methyl-2-(2-methylpropyl)-3,5-dioxo-(CA INDEX NAME)

RN 865298-86-8 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-N,N-diethyl-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo- (CA INDEX NAME)

RN 865298-87-9 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-5-[(4-methyl-1-piperazinyl)carbonyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{C} \\ \text{O} \\ \text$$

RN 865298-88-0 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-5-[(4-methyl-1-piperazinyl)carbonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 865298-87-9

CMF C22 H24 C1 F N4 O4

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{C} = \text{O} \\ \text{CH}_2 - \text{N} \\ \text{O} \\ \text{OH} \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 865298-89-1 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-5-(4-thiomorpholinylcarbonyl)- (CA INDEX NAME)

RN 865298-90-4 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-5-(1-piperidinylcarbonyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

RN 865298-91-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-N-(cyclopropylmethyl)-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-(CA INDEX NAME)

RN 865298-92-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-N-cyclopropyl-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-(CA INDEX NAME)

RN 865298-93-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-N-ethyl-2,3,5,6,7,8-hexahydro-4-hydroxy-N,2-dimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865298-94-8 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,2-dimethyl-N-(1-methylethyl)-3,5-dioxo-(CA INDEX NAME)

RN 865298-95-9 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-5-[(4,4-difluoro-1-piperidinyl)carbonyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-(CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 865298-96-0 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-5-(4-morpholinylcarbonyl)- (CA INDEX NAME)

$$\begin{array}{c} C1 & C = O \\ N & C = O \\ Me \\ O & OH \end{array}$$

RN 865298-97-1 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,2-dimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865298-98-2 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-5-[(4-cyclopropyl-1-piperazinyl)carbonyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-(CA INDEX NAME)

RN 865298-99-3 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-5-[(4-cyclopropyl-1-piperazinyl)carbonyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-,2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 865298-98-2 CMF C24 H26 C1 F N4 O4

$$\begin{array}{c|c} & & & \\ & & & \\$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 865299-00-9 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N,N-diethyl-6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-01-0 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N-[2-(dimethylamino)ethyl]-6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,2-dimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-02-1 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,2-dimethyl-N-(1-methyl-4-piperidinyl)-3,5-dioxo-(CA INDEX NAME)

RN 865299-03-2 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N,6-bis[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,2-dimethyl-3,5-dioxo-(CA INDEX NAME)

$$\begin{array}{c} F \\ CH_2 \\ N-Me \\ C = O \\ \end{array}$$

RN 865299-04-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N,N-diethyl-6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo- (CA INDEX NAME)

RN 865299-05-4 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N-methyl-N-(2-methylpropyl)-3,5-dioxo- (CA INDEX NAME)

RN 865299-06-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N-ethyl-6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N-methyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-07-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N-methyl-3,5-dioxo-N-propyl- (CA INDEX NAME)

RN 865299-08-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N-methyl-N-(1-methylethyl)-3,5-dioxo- (CA INDEX NAME)

RN 865299-09-8 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-5-(1-pyrrolidinylcarbonyl)- (CA INDEX NAME)

RN 865299-10-1 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-5-(4-morpholinylcarbonyl)- (CA INDEX NAME)

RN 865299-11-2 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-6-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

RN 865299-12-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[[4-fluoro-3-(trifluoromethyl)phenyl]methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-15-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2,3,5,6,7,8-hexahydro-4-hydroxy-6-[(2-methoxyphenyl)methyl]-N,N,2-trimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-16-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2,3,5,6,7,8-hexahydro-4-hydroxy-6-[(3-methoxyphenyl)methyl]-N,N,2-trimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-17-8 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-6-[(3-methylphenyl)methyl]-3,5-dioxo- (CA INDEX NAME)

RN 865299-18-9 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3,4-dimethylphenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-19-0 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(2,3-dichlorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-20-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(2,4-difluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-22-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-6-[[3-(trifluoromethoxy)phenyl]methyl]- (CA INDEX NAME)

RN 865299-24-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-25-8 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluoro-3-methylphenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-26-9 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(2-bromo-3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-27-0 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-6-[(2-methylphenyl)methyl]-3,5-dioxo- (CA INDEX NAME)

RN 865299-28-1 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(2-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-29-2 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-6-[(4-methylphenyl)methyl]-3,5-dioxo- (CA INDEX NAME)

RN 865299-30-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-chlorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-31-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2,3,5,6,7,8-hexahydro-4-hydroxy-6-[(4-methoxyphenyl)methyl]-N,N,2-trimethyl-3,5-dioxo- (CA INDEX NAME)

$$\begin{array}{c|c} \text{O} & \\ \text{C-NMe}_2 \\ \text{Me} & \\ \text{OH} & \text{O} \end{array}$$

RN 865299-32-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3,5-dichlorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-33-8 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3,4-dichlorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-34-9 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3,5-difluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

$$\begin{array}{c|c} \text{O} & \\ \text{C-NMe}_2 & \text{F} \\ \text{Me} & \\ \text{O} & \text{N-CH}_2 \\ \end{array}$$

RN 865299-35-0 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3,5-dimethoxyphenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-36-1 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-methylphenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-37-2 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-fluoro-4-methylphenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-38-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(2,5-difluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-39-4 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-2-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-40-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-chloro-2-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-41-8 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(5-chloro-2-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-42-9 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(2-fluoro-3-methylphenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-43-0 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(5-fluoro-2-methylphenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-44-1 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3,5-dimethylphenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-45-2 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2,3,5,6,7,8-hexahydro-4-hydroxy-6-[(4-hydroxyphenyl)methyl]-N,N,2-trimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-47-4 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluoro-3-methoxyphenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-48-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-methoxyphenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-49-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-chloro-3-methylphenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-50-9 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3,4-difluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

$$\begin{array}{c|c} O & & & \\ \hline C-NMe_2 & & F \\ \hline Me & & & \\ \hline OH & O & & \\ \end{array}$$

RN 865299-51-0 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(2-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-52-1 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chlorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-53-2 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2,3,4,6-tetrahydro-8-hydroxy-2-[(4-methoxyphenyl)methyl]-6-methyl-5-(1-pyrrolidinylcarbonyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 865299-54-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2,3,5,6,7,8-hexahydro-4-hydroxy-6-[(4-methoxyphenyl)methyl]-N,2-dimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-56-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-(4-methylphenyl)-3,5-dioxo-(CA INDEX NAME)

RN 865299-57-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-2-phenyl-(CA INDEX NAME)

RN 865299-58-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-2-(3-thienyl)-(CA INDEX NAME)

RN 865299-59-8 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-2-(3-pyridinyl)-(CA INDEX NAME)

RN 865299-60-1 CAPLUS

CN Benzoic acid, 4-[6-[(3-chloro-4-fluorophenyl)methyl]-1[(dimethylamino)carbonyl]-5,6,7,8-tetrahydro-4-hydroxy-3,5-dioxo-2,6naphthyridin-2(3H)-yl]-, methyl ester (CA INDEX NAME)

RN 865299-61-2 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2-[4-(aminocarbonyl)phenyl]-6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-62-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-[4-[(methylamino)carbonyl]phenyl]-3,5-dioxo-(CA INDEX NAME)

RN 865299-63-4 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2-[4-[(ethylamino)carbonyl]phenyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-64-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-[4-[[(1-methylethyl)amino]carbonyl]phenyl]-3,5-dioxo- (CA INDEX NAME)

RN 865299-65-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2-[4-[(dimethylamino)carbonyl]phenyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-66-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2-[4-[(diethylamino)carbonyl]phenyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-67-8 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2-[3-[(dimethylamino)carbonyl]phenyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-68-9 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-(4-nitrophenyl)-3,5-dioxo-(CA INDEX NAME)

RN 865299-69-0 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2-[4-(acetylamino)phenyl]-6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-70-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2-[4-(acetylmethylamino)phenyl]-6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-71-4 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-[4-[methyl(2,2,2-trifluoroacetyl)amino]phenyl]-3,5-dioxo- (CA INDEX NAME)

$$F_3C-C-N$$
 $C-NMe_2$
 $C=0$
 $C=0$

RN 865299-72-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-[4-[methyl[(methylamino)carbonyl]amino]phenyl]-3,5-dioxo-(CA INDEX NAME)

RN 865299-73-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2-[4-[(dimethylamino)carbonyl]methylamino]phenyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-74-7 CAPLUS

CN Carbamic acid, [4-[6-[(3-chloro-4-fluorophenyl)methyl]-1[(dimethylamino)carbonyl]-5,6,7,8-tetrahydro-4-hydroxy-3,5-dioxo-2,6naphthyridin-2(3H)-yl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

RN 865299-75-8 CAPLUS

CN Carbamic acid, [4-[6-[(3-chloro-4-fluorophenyl)methyl]-1[(dimethylamino)carbonyl]-5,6,7,8-tetrahydro-4-hydroxy-3,5-dioxo-2,6naphthyridin-2(3H)-yl]phenyl]methyl-, methyl ester (9CI) (CA INDEX NAME)

RN 865299-76-9 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-[4-[(methylsulfonyl)amino]phenyl]-3,5-dioxo-(CA INDEX NAME)

RN 865299-77-0 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-[4-[methyl(methylsulfonyl)amino]phenyl]-3,5-dioxo- (CA INDEX NAME)

RN 865299-78-1 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2-[4-(aminosulfonyl)phenyl]-6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-(CA INDEX NAME)

$$H_2N-S$$
 $C-NMe_2$
 CH_2
 F
 OH
 OH
 OH

RN 865299-79-2 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-[4-[(methylamino)sulfonyl]phenyl]-3,5-dioxo-(CA INDEX NAME)

RN 865299-80-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-[4-(4-morpholinylsulfonyl)phenyl]-3,5-dioxo- (CA INDEX NAME)

RN 865299-81-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-[4-(methylsulfonyl)phenyl]-3,5-dioxo-(CA INDEX NAME)

RN 865299-82-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2-(3-cyanophenyl)-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-83-8 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2-(4-cyanophenyl)-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-84-9 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2-(4-acetylphenyl)-6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-85-0 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2-(cyanomethyl)-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-86-1 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2-(1-cyanoethyl)-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-87-2 CAPLUS

CN 2,6-Naphthyridine-2(3H)-acetamide, 6-[(3-chloro-4-fluorophenyl)methyl]-1-[(dimethylamino)carbonyl]-5,6,7,8tetrahydro-4-hydroxy-3,5-dioxo- (CA INDEX NAME)

RN 865299-88-3 CAPLUS

CN 2,6-Naphthyridine-2(3H)-acetamide, 6-[(3-chloro-4-fluorophenyl)methyl]-1-[(dimethylamino)carbonyl]-5,6,7,8-tetrahydro-4-hydroxy- α -methyl-3,5-dioxo- (CA INDEX NAME)

RN 865299-89-4 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2-(cyclopropylmethyl)-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-90-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2-(cyclobutylmethyl)-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-91-8 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2-(cyclohexylmethyl)-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-(CA INDEX NAME)

$$\begin{array}{c|c} O \\ C - NMe_2 \\ CH_2 - N \\ OH O \end{array}$$

RN 865299-92-9 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-(2-methoxyethyl)-N,N-dimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-93-0 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-2-(2,2,2-trifluoroethyl)- (CA INDEX NAME)

RN 865299-94-1 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-2-(phenylmethyl)-(CA INDEX NAME)

RN 865299-95-2 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-96-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2,6-bis[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-97-4 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-2-[2-(1-pyrrolidinyl)ethyl]- (CA INDEX NAME)

$$\begin{array}{c|c} O \\ C-NMe_2 \\ \hline \\ N-CH_2-CH_2-N \\ \hline \\ OH \\ O \end{array}$$

RN 865299-98-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-[2-(4-morpholinyl)ethyl]-3,5-dioxo-(CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 865299-99-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 2-(2-aminoethyl)-6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo- (CA INDEX NAME)

$$\begin{array}{c|c} O \\ C-NMe_2 \\ O \\ OH \\ O \end{array} \quad CH_2 \\ \end{array}$$

RN 865300-00-1 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-(1-methylethyl)-3,5-dioxo- (CA INDEX NAME)

RN 865300-01-2 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-(2-methylpropyl)-3,5-dioxo- (CA INDEX NAME)

RN 865300-02-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(5-chloro-4-fluoro-2-iodophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-(1-methylethyl)-3,5-dioxo- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ i-\text{Pr} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 865300-03-4 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(5-chloro-4-fluoro-2-iodophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-(2-methylpropyl)-3,5-dioxo- (CA INDEX NAME)

$$\begin{array}{c|c} O \\ C-NMe_2 \\ i-Bu \\ N \\ OH \\ O \end{array}$$

RN 865300-04-5 CAPLUS

CN Methanesulfonamide, N-[6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-2,6-naphthyridin-1-yl]-N-methyl-(CA INDEX NAME)

RN 865300-05-6 CAPLUS

CN Ethanesulfonamide, N-[6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-2,6-naphthyridin-1-yl]-N-methyl-(CA INDEX NAME)

RN 865300-06-7 CAPLUS

CN Methanesulfonamide, N-[6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-(2-methylpropyl)-3,5-dioxo-2,6-naphthyridin-1-yl]-N-methyl- (CA INDEX NAME)

RN 865300-07-8 CAPLUS

CN Methanesulfonamide, N-[6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-(2-methylpropyl)-3,5-dioxo-2,6-naphthyridin-1-yl]-N-ethyl- (CA INDEX NAME)

RN 865300-08-9 CAPLUS

CN Urea, N-[6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-2,6-naphthyridin-1-yl]-N,N',N'-trimethyl- (CA INDEX NAME)

RN 865300-09-0 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-5-(5-methyl-1,3,4-oxadiazol-2-yl)- (CA INDEX NAME)

RN 865300-10-3 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 5-bromo-2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy- (CA INDEX NAME)

RN 865300-13-6 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl- (CA INDEX NAME)

RN 865300-14-7 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-5-ethyl-2,3,4,6-tetrahydro-8-hydroxy-6-methyl- (CA INDEX NAME)

RN 865300-15-8 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-5-

cyclopropy1-2,3,4,6-tetrahydro-8-hydroxy-6-methyl- (CA INDEX NAME)

RN 865300-16-9 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-5-(3-pyridinyl)- (CA INDEX NAME)

RN 865300-17-0 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-5-(4-pyridinyl)- (CA INDEX NAME)

RN 865300-18-1 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-5-(2-furanyl)-2,3,4,6-tetrahydro-8-hydroxy-6-methyl- (CA INDEX NAME)

RN 865300-98-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6-tetrahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo- (CA INDEX NAME)

IT 865300-88-5 1056715-72-0

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of hydroxynaphthyridinediones as HIV integrase inhibitors)

RN 865300-88-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid,

2,3,5,6,7,8-hexahydro-4-hydroxy-6-[(4-methoxyphenyl)methyl]-2-methyl-3,5-dioxo-, methyl ester (CA INDEX NAME)

RN 1056715-72-0 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N-methyl-3,5-dioxo-(CA INDEX NAME)

IT 865300-36-3P 865300-53-4P 865300-54-5P

865300-62-5P 865300-73-8P 865300-81-8P

865300-86-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of hydroxynaphthyridinediones as HIV integrase inhibitors)

RN 865300-36-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-, ethyl ester, sodium salt (1:1) (CA INDEX NAME)

Na

RN 865300-53-4 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-, methyl ester (CA INDEX NAME)

RN 865300-54-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-, methyl ester (CA INDEX NAME)

RN 865300-62-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865300-73-8 CAPLUS

CN Carbamic acid, [2-[6-[(3-chloro-4-fluorophenyl)methyl]-1[(dimethylamino)carbonyl]-5,6,7,8-tetrahydro-4-hydroxy-3,5-dioxo-2,6naphthyridin-2(3H)-yl]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

RN 865300-81-8 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo- (CA INDEX NAME)

RN 865300-86-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-, ethyl ester (CA INDEX NAME)

6

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 7 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1026949 CAPLUS

DOCUMENT NUMBER: 143:326343

TITLE: Preparation of hydroxynaphthyridinediones as HIV

integrase inhibitors

INVENTOR(S): Han, Wei; Egbertson, Melissa; Wai, John S.; Zhuang,

Linghang; Ruzek, Rowena D.; Perlow, Debra S.;

Obligado, Vanessa E.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA SOURCE: PCT Int. Appl., 83 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PA | PATENT NO. | | | | | KIND DATE | | APPLICATION NO. | | | | | DATE | | | | | |
|---------|----------------------|-----|-----|-----|----------|-------------|-----|-----------------|--------------|-----------------|-----|----------|------------|------------|------------|-----|-----|----|
| WO | WO 2005087767 | | | | A1 | .1 20050922 | | WO 2005-US7730 | | | | 20050309 | | | | | | |
| | W: | ΑE, | AG, | AL, | AM, | ΑT, | ΑU, | ΑZ, | BA, | BB, | BG, | BR, | BW, | BY, | BZ, | CA, | CH, | |
| | | CN, | CO, | CR, | CU, | CZ, | DE, | DK, | DM, | DZ, | EC, | EE, | EG, | ES, | FI, | GB, | GD, | |
| | | GE, | GH, | GM, | HR, | HU, | ID, | IL, | IN, | IS, | JP, | KE, | KG, | KP, | KR, | KΖ, | LC, | |
| | | LK, | LR, | LS, | LT, | LU, | LV, | MA, | MD, | MG, | MK, | MN, | MW, | MX, | MZ, | NA, | NI, | |
| | | NO, | NZ, | OM, | PG, | PH, | PL, | PT, | RO, | RU, | SC, | SD, | SE, | SG, | SK, | SL, | SM, | |
| | | SY, | ТJ, | TM, | TN, | TR, | TT, | TZ, | UA, | UG, | US, | UΖ, | VC, | VN, | YU, | ZA, | ZM, | ZW |
| | RW: | BW, | GH, | GM, | ΚE, | LS, | MW, | MZ, | NA, | SD, | SL, | SZ, | TZ, | UG, | ZM, | ZW, | AM, | |
| | | ΑZ, | BY, | KG, | KΖ, | MD, | RU, | ТJ, | TM, | ΑT, | BE, | BG, | CH, | CY, | CZ, | DE, | DK, | |
| | | EE, | ES, | FI, | FR, | GB, | GR, | HU, | ΙE, | IS, | IT, | LT, | LU, | MC, | NL, | PL, | PT, | |
| | | RO, | SE, | SI, | SK, | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GA, | GN, | GQ, | GW, | ML, | |
| | | MR, | NE, | SN, | TD, | ΤG | | | | | | | | | | | | |
| BR | BR 2005008495 | | | A | 20070731 | | | | BR 2005-8495 | | | | 20050309 | | | | | |
| IN | IN 2006CN03154 | | | | A | 20070608 | | | | IN 2006-CN3154 | | | 20060831 | | | | | |
| MX | MX 2006010252 | | | | Α | 20061030 | | | | MX 2006-10252 | | | 20060908 | | | | | |
| PRIORIT | IORITY APPLN. INFO.: | | | | | | | | | US 2004-551625P | | | | P 20040309 | | | | |
| | | | | | | | | | | US 2004-633134P | | | 34P | • | P 20041203 | | | |
| | | | | | | | | | | WO 2005-US7730 | | | W 20050309 | | | | | |

OTHER SOURCE(S): MARPAT 143:326343

GΙ

The title compds. I [X1 = H, Br, Cl, F, OMe; X2 = H, Br, Cl, F, OMe, alkyl, etc.; R4 = CO2H, C(O)O(alkyl), CONH2, etc.; R5 = H, alkyl, cycloalkyl, etc.] which are inhibitors of HIV integrase and inhibitors of HIV replication, and therefore are useful in the prevention and treatment of infection by HIV and in the prevention, delay in the onset, and treatment of AIDS, were prepared and formulated. E.g., a multi-step synthesis of II, starting from piperidin-2-one and 4-fluorobenzyl bromide, was given. The representative compds. I (including II) were tested in the integrase assay and were found to have IC50's less than about 1 μM . The compds. I can be employed against HIV infection and AIDS as compds. per se or in the form of pharmaceutically acceptable salts. The compds. I and their salts can be employed as ingredients in pharmaceutical compns., optionally in combination with other antivirals, immunomodulators, antibiotics or vaccines.

IT 865300-98-7

RL: PRPH (Prophetic)

(Preparation of hydroxynaphthyridinediones as HIV integrase inhibitors)

RN 865300-98-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6-tetrahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo- (CA INDEX NAME)

IT 865300-19-2P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

(Preparation); USES (Uses)

(preparation of hydroxynaphthyridinediones as HIV integrase inhibitors)

RN 865300-19-2 CAPLUS

CN

2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-(1-methylethyl)-3,5-dioxo-, sodium salt (1:1) (CA INDEX NAME)

Na

IT 865298-58-4P 865298-70-0P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of hydroxynaphthyridinediones as HIV integrase inhibitors)

RN 865298-58-4 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid,

6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-, methyl ester (CA INDEX NAME)

RN 865298-70-0 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-N-(1-methylethyl)-3,5-dioxo- (CA INDEX NAME)

IT 865298-59-5P 865298-60-8P 865298-61-9P 865298-62-0P 865298-63-1P 865298-64-2P 865298-65-3P 865298-66-4P 865298-67-5P 865298-66-6P 865298-69-7P 865298-74-4P 865298-76-6P 865298-77-7P 865298-78-8P 865298-81-3P 865298-82-4P 865298-83-5P 865298-84-6P 865298-85-7P 865298-86-8P 865298-87-9P 865298-89-1P 865298-93-7P 865298-91-5P 865298-92-6P 865298-93-7P 865298-91-5P 865298-95-9P 865298-96-0P 865298-97-1P 865299-00-9P 865299-04-3P 865299-05-4P 865299-06-5P 865299-07-6P 865299-08-7P 865299-09-8P 865299-10-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of hydroxynaphthyridinediones as HIV integrase inhibitors) 865298-59-5 CAPLUS

2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo- (CA INDEX NAME)

RN

CN

RN 865298-60-8 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N-cyclobutyl-6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-(CA INDEX NAME)

RN 865298-61-9 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N-cyclopropyl-6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-(CA INDEX NAME)

RN 865298-62-0 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N-(1-methylethyl)-3,5-dioxo- (CA INDEX NAME)

RN 865298-63-1 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N-methyl-3,5-dioxo- (CA INDEX NAME)

RN 865298-64-2 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo- (CA INDEX NAME)

RN 865298-65-3 CAPLUS

CN Methanesulfonamide, N-[6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-2,6-naphthyridin-1-yl]-N-methyl- (CA INDEX NAME)

RN 865298-66-4 CAPLUS

CN Acetamide, N-[6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-2,6-naphthyridin-1-yl]-N-methyl- (CA INDEX NAME)

RN 865298-67-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo- (CA INDEX NAME)

RN 865298-68-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N-cyclobuty1-6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo- (CA INDEX NAME)

RN 865298-69-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N-cyclopropyl-6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ C & O \\ & & \\ C & & \\ \end{array}$$

RN 865298-74-4 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-5-(1-piperidinylcarbonyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN 865298-76-6 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-5-(4-thiomorpholinylcarbonyl)- (CA INDEX NAME)

RN 865298-77-7 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-5-(1-piperazinylcarbonyl)- (CA INDEX NAME)

RN 865298-78-8 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-5-(1-piperazinylcarbonyl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 865298-77-7 CMF C20 H21 F N4 O4

$$\begin{array}{c} H \\ N \\ C = O \\ \text{CH}_2 - N \\ O \\ \text{OH} \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 865298-81-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865298-82-4 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N,2-trimethyl-3,5-dioxo-, sodium salt (1:1) (CA INDEX NAME)

Na

RN 865298-83-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-(1-methylethyl)-3,5-dioxo-(CA INDEX NAME)

RN 865298-84-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-2-(2-methylpropyl)-3,5-dioxo-(CA INDEX NAME)

RN 865298-85-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N-methyl-2-(2-methylpropyl)-3,5-dioxo-(CA INDEX NAME)

RN 865298-86-8 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-N,N-diethyl-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo- (CA INDEX NAME)

RN 865298-87-9 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-5-[(4-methyl-1-piperazinyl)carbonyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{C} \\ \text{O} \\ \text$$

RN 865298-88-0 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-5-[(4-methyl-1-piperazinyl)carbonyl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 865298-87-9

CMF C22 H24 C1 F N4 O4

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{C} = \text{O} \\ \text{CH}_2 - \text{N} \\ \text{O} \\ \text{OH} \end{array}$$

CM 2

CRN 76-05-1 CMF C2 H F3 O2

RN 865298-89-1 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-5-(4-thiomorpholinylcarbonyl)- (CA INDEX NAME)

RN 865298-90-4 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-5-(1-piperidinylcarbonyl)- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\$$

RN 865298-91-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-N-(cyclopropylmethyl)-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-(CA INDEX NAME)

RN 865298-92-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-N-cyclopropyl-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-(CA INDEX NAME)

RN 865298-93-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-N-ethyl-2,3,5,6,7,8-hexahydro-4-hydroxy-N,2-dimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865298-94-8 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,2-dimethyl-N-(1-methylethyl)-3,5-dioxo-(CA INDEX NAME)

RN 865298-95-9 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-5-[(4,4-difluoro-1-piperidinyl)carbonyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-(CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & &$$

RN 865298-96-0 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chloro-4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl-5-(4-morpholinylcarbonyl)- (CA INDEX NAME)

$$\begin{array}{c} C1 & C = O \\ N & C = O \\ Me \\ O & OH \end{array}$$

RN 865298-97-1 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,2-dimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-00-9 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N,N-diethyl-6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-04-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N,N-diethyl-6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-(CA INDEX NAME)

RN 865299-05-4 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N-methyl-N-(2-methylpropyl)-3,5-dioxo- (CA INDEX NAME)

RN 865299-06-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, N-ethyl-6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N-methyl-3,5-dioxo-(CA INDEX NAME)

RN 865299-07-6 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N-methyl-3,5-dioxo-N-propyl- (CA INDEX NAME)

RN 865299-08-7 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N-methyl-N-(1-methylethyl)-3,5-dioxo- (CA INDEX NAME)

RN 865299-09-8 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-5-(1-pyrrolidinylcarbonyl)- (CA INDEX NAME)

RN 865299-10-1 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(4-fluorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-5-(4-morpholinylcarbonyl)- (CA INDEX NAME)

IT 865300-36-3P 865300-53-4P 865300-54-5P

865300-62-5P 865300-86-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of hydroxynaphthyridinediones as HIV integrase inhibitors)

RN 865300-36-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid,

6-[(4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-, ethyl ester, sodium salt (1:1) (CA INDEX NAME)

Na

RN 865300-53-4 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-, methyl ester (CA INDEX NAME)

RN 865300-54-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-2-methyl-3,5-dioxo-, methyl ester (CA INDEX NAME)

RN 865300-62-5 CAPLUS

CN 2,6-Naphthyridine-1-carboxamide, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-N,N-dimethyl-3,5-dioxo-(CA INDEX NAME)

RN 865300-86-3 CAPLUS

CN 2,6-Naphthyridine-1-carboxylic acid, 6-[(3-chloro-4-fluorophenyl)methyl]-2,3,5,6,7,8-hexahydro-4-hydroxy-3,5-dioxo-, ethyl ester (CA INDEX NAME)

6

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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2005:158668 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 142:261561

TITLE: Preparation of pyrido[1,2-a]pyrazine-1,8-dione

derivatives as HIV integrase inhibitors

INVENTOR(S): Miyazaki, Susumu; Katoh, Susumu; Adachi, Kaoru;

Isoshima, Hirotaka; Kobayashi, Satoru; Matsuzaki, Yuji; Watanabe, Wataru; Yamataka, Kazunobu; Kiyonari,

APPLICATION NO.

DATE

Shinichi; Wamaki, Shuichi

PATENT ASSIGNEE(S): Japan Tobacco Inc., Japan SOURCE: PCT Int. Appl., 355 pp.

KIND

CODEN: PIXXD2

DATE

DOCUMENT TYPE: Patent Japanese LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.

| FAIENI NO. | | | 17.114 | | | | | arruication no: | | | | | DAIE | | | | | |
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| | | SI, | SK, | TR, | BF, | ВJ, | CF, | CG, | CI, | CM, | GΑ, | GN, | GQ, | GW, | ML, | MR, | NE, | |
| | | SN, | TD, | | | | | | | | | | | | | | | |
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| | 2315 | | | | Т3 | | 2009 | 0401 | | ES 2 | 004- | 7718 | 30 | | 2 | 0040 | 812 | |
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| | 2008 | | | | AI | | 2008 | 0/03 | | US 2 | 00/- | 1832 | /U | | Z | 0070 | 406 | |
| ORITY APPLN. INFO.: | | | | | | | | JP 2003-293117 JP 2004-134896 | | | | | | | | | | |
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| | | | | | | | | | | EP Z | 004- | 7718 5132 | 3 U | | A3 2 | | - | |
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GΙ

AB The title compds. I [wherein R1 = (un)substituted alkyl, alkenyl, alkynyl, etc.; X = (un)substituted CH2, N=CH, or CH=N; Y1-Y2-Y3 = (un)substituted C=CH-NH, N-CH=N, N-CH=CH, C=N-NH, N-N=CH, etc.; R2 = H, alkyl, arylalkyl, or (un)substituted SO2H] or pharmaceutically acceptable salts thereof are prepared as anti-HIV agents. For example, the compound II+HCl was prepared in a multi-step synthesis. II+HCl inhibited HIV integrase with IC50 of <0.01 μ M. Formulations containing I as an active ingredient were also described.

IT 845719-70-2P 845719-85-9P 845720-07-2P 845720-08-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of pyrido[1,2-a]pyrazine-1,8-dione derivs. as HIV integrase inhibitors)

RN 845719-70-2 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3-chlorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl- (CA INDEX NAME)

RN 845719-85-9 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2-[(3,4-dichlorophenyl)methyl]-2,3,4,6-tetrahydro-8-hydroxy-6-methyl- (CA INDEX NAME)

RN 845720-07-2 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2,3,4,6-tetrahydro-8-hydroxy-6-methyl-2-[[3-(trifluoromethyl)phenyl]methyl]- (CA INDEX NAME)

RN 845720-08-3 CAPLUS

CN 2,6-Naphthyridine-1,7-dione, 2,3,4,6-tetrahydro-8-hydroxy-2-[(3-methoxyphenyl)methyl]-6-methyl- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

| => log y COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
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| FULL ESTIMATED COST | 39.98 | 226.08 |
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